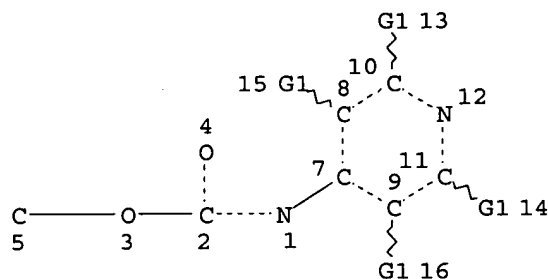


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 L1 HAS NO ANSWERS  
 L1 STR



VAR G1=H/ME/ET/N-PR/I-PR  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RSPEC 7  
 NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

=> s l1 ful  
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100.0% PROCESSED 3642 ITERATIONS 135 ANSWERS  
 SEARCH TIME: 00.00.01

L3 135 SEA SSS FUL L1

=> fil caplus  

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	168.26	168.47

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 FILE LAST UPDATED: 6 Dec 2006 (20061206/ED)

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12/7/06

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=> s 13

L4 146 L3

=> s 14 and CNS

37406 CNS

L5 3 L4 AND CNS

=> d bib abs hitstr 1-3

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:513486 CAPLUS

DN 141:47362

TI Pyridines for treating injured mammalian nerve tissue

IN Borgens, Richard B.; Shi, Riyi; Byrn, Stephen R.; Smith, Daniel T.

PA Purdue Research Foundation, USA

SO PCT Int. Appl., 51 pp.

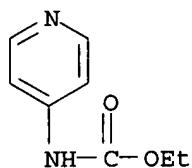
CODEN: PIXXD2

DT Patent

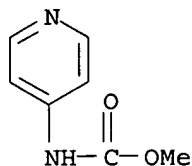
LA English

FAN.CNT 1

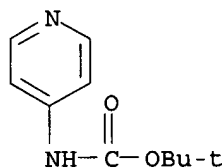
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052291	A2	20040624	WO 2003-US38834	20031205
	WO 2004052291	A3	20041014		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2508165	AA	20040624	CA 2003-2508165	20031205
	AU 2003298034	A1	20040630	AU 2003-298034	20031205
	US 2004171587	A1	20040902	US 2003-730495	20031205
	EP 1567497	A2	20050831	EP 2003-796756	20031205
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	CN 1745064	A	20060308	CN 2003-80109400	20031205
	JP 2006515585	T2	20060601	JP 2004-559375	20031205
PRAI	US 2002-431637P	P	20021206		
	WO 2003-US38834	W	20031205		
OS	MARPAT 141:47362				
AB	The invention provides novel pyridines, pharmaceutical compns. comprising such pyridines, and the use of such compns. in treating injured mammalian nerve tissue, including but not limited to an injured spinal cord in one embodiment, the compds., compns., and methods of the instant invention treat a mammalian nerve tissue injury by restoring action potential or nerve impulse conduction through a nerve tissue lesion. Significantly, in vivo application of compds. of the instant invention established, on the basis of SSEP testing, that the compds. provide longer lasting effects at lower concns. than comparable treatment with the known agent 4-aminopyridine (4 AP).				
IT	54287-92-2P 79546-31-9P 98400-69-2P RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (pyridines for treating injured mammalian nerve tissue)				
RN	54287-92-2 CAPLUS				
CN	Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)				



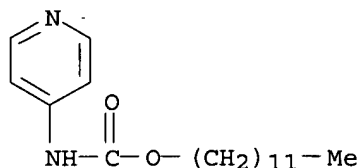
RN 79546-31-9 CAPLUS  
 CN Carbamic acid, 4-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)



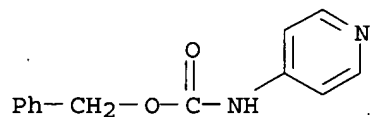
RN 98400-69-2 CAPLUS  
 CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 125329-97-7P 260262-86-0P  
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (pyridines for treating injured mammalian nerve tissue)  
 RN 125329-97-7 CAPLUS  
 CN Carbamic acid, 4-pyridinyl-, dodecyl ester (9CI) (CA INDEX NAME)



RN 260262-86-0 CAPLUS  
 CN Carbamic acid, 4-pyridinyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



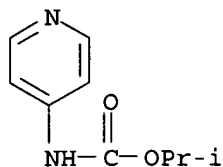
IT 117652-47-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(pyridines for treating injured mammalian nerve tissue).

RN 117652-47-8 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STM

AN 2002:521731 CAPLUS

DN 137:78966

TI Preparation of substituted 3H-quinazolin-4-ones and 2H-benzo[1,2,4]thiadiazine-1,1-dioxides as alpha 1A/B adrenergic receptor antagonists for treatment of urinary tract disorders, sexual dysfunction, or pain

IN Becker, Cyrus Kephra; Caroon, Jon Marie; Melville, Chris Richard; Padilla, Fernando; Pfister, Juerg Roland; Zhang, Xiaoming

PA F. Hoffmann-La Roche A.-G., Switz.

SO PCT Int. Appl., 92 pp.

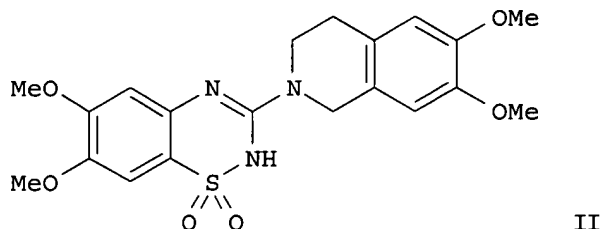
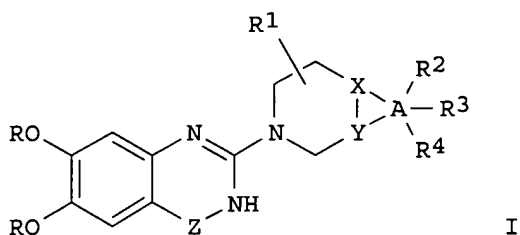
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053558	A1	20020711	WO 2001-EP14885	20011217
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2432578	AA	20020711	CA 2001-2432578	20011217
	BR 2001016662	A	20030923	BR 2001-16662	20011217
	EP 1363899	A1	20031126	EP 2001-985417	20011217
	EP 1363899	B1	20050511		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004519454	T2	20040702	JP 2002-554677	20011217
	AT 295362	E	20050515	AT 2001-985417	20011217
	ES 2241891	T3	20051101	ES 2001-1985417	20011217
	US 2003069230	A1	20030410	US 2002-40319	20020102
	US 6900220	B2	20050531		
	ZA 2003005038	A	20040927	ZA 2003-5038	20030628
	US 2005107365	A1	20050519	US 2004-971522	20041022
	US 7091200	B2	20060815		
PRAI	US 2001-259337P	P	20010102		
	US 2001-325267P	P	20010927		
	WO 2001-EP14885	W	20011217		
	US 2002-40319	A3	20020102		
OS	MARPAT 137:78966				
GI					

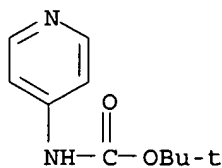


AB Title compds. I [wherein X = C or N; Y = C; A = fused 5-6 membered (hetero)aromatic ring; Z = CO or SO<sub>2</sub>; R = alkyl; R<sub>1</sub> = H, alkyl, or (un)substituted aryl(alkyl) or arylaminocarbonyl; R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub> = independently H, alkyl, hydroxy(alkyl), alkoxy(alkyl), halo(alkyl), cyano(alkyl), or (un)substituted cycloalkyl(alkyl), aryl(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl), amino(alkyl), ureido, sulfamoyl, acyl, carbamoyl, etc.; or C<sub>2</sub>R<sub>2</sub>R<sub>3</sub> = (un)substituted (hetero)aryl; and isomers, pharmaceutically acceptable salts, or solvates thereof] were prepared as selective alpha-1A/B adrenoceptor antagonists. For example, 3-chloro-6,7-dimethoxy-2H-benzo[1,2,4]thiadiazine-1,1-dioxide and 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline were refluxed in methoxyethanol for 72 h to give II. In [3H]prazosin binding assays, the latter exhibited pK<sub>i</sub> values of 8.15, 8.79, and 7.18, resp., for binding toward α<sub>1</sub>A, α<sub>1</sub>B, and α<sub>1</sub>D adrenoceptor transfected CHO-K1 cells. Thus, I are useful for the treatment of urinary tract disorders and their symptoms, sexual dysfunction, or pain (no data). In addition, the subtype selectivity of I is expected to reduce the incidence of dose-limiting side effects, such as cardiovascular and CNS effects.

IT 98400-69-2, Pyridin-4-ylcarbamic acid tert-butyl ester  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of quinazolinones and benzothiadiazines as α<sub>1</sub> adrenergic receptor antagonists for treatment of urinary tract disorders, sexual dysfunction, or pain)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:475645 CAPLUS

DN 133:104969

TI Preparation of 2-oxoquinoline compounds used as immunosuppressive, anti-inflammatory, and anti-allergic agents

IN Inaba, Takashi; Kaya, Tetsudo; Iwamura, Hiroyuki

PA Japan Tobacco Inc., Japan

SO PCT Int. Appl., 116 pp.

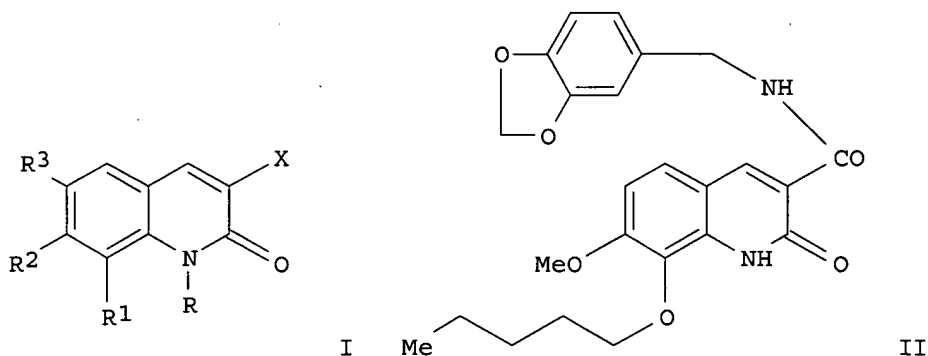
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000040562	A1	20000713	WO 1999-JP7398	19991228
	W: AU, BR, CA, CN, ID, IN, KR, NZ, US, VN				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 2000256323	A2	20000919	JP 1999-368621	19991227
	CA 2358879	AA	20000713	CA 1999-2358879	19991228
	EP 1142877	A1	20011010	EP 1999-961472	19991228
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	NZ 512883	A	20030328	NZ 1999-512883	19991228
	AU 759483	B2	20030417	AU 2000-18041	19991228
	TW 515794	B	20030101	TW 1999-88123313	19991230
	US 6509352	B1	20030121	US 2001-869895	20010829
	US 2003191069	A1	20031009	US 2002-245861	20020916
	US 6806276	B2	20041019		
PRAI	JP 1999-3498	A	19990108		
	WO 1999-JP7398	W	19991228		
	US 2001-869895	A1	20010829		
OS	MARPAT 133:104969				
GI					



AB Title compds. [I; R = H, CH<sub>3</sub>; X = COOCH<sub>3</sub>, 4-FC<sub>6</sub>H<sub>4</sub>(CH<sub>2</sub>)<sub>2</sub>NHCO, 4-FC<sub>6</sub>H<sub>4</sub>(CH<sub>2</sub>)<sub>2</sub>NHCONHCH<sub>2</sub>, 4-FC<sub>6</sub>H<sub>4</sub>(CH<sub>2</sub>)<sub>2</sub>NHCOOCH<sub>2</sub>, 4-HOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CONHCH<sub>2</sub>, COOH, CH<sub>2</sub>OH, (CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>, NH<sub>2</sub>CH<sub>2</sub>, 4-NH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NHCO, 4-NH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>(CH<sub>2</sub>)<sub>2</sub>NHCO; R<sub>1</sub> = H, OH, CH<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>O, HOOC(CH<sub>2</sub>)<sub>4</sub>O, HO(CH<sub>2</sub>)<sub>5</sub>O, CH<sub>3</sub>CO(CH<sub>2</sub>)<sub>3</sub>O; R<sub>2</sub> = CH<sub>3</sub>O, OH, CH<sub>3</sub>(CH<sub>2</sub>)<sub>4</sub>O; R<sub>3</sub> = H, CH<sub>3</sub>(CH<sub>2</sub>)<sub>n</sub>O; n = 1, 2, 3, 4; etc] and medicinally acceptable salts are prepared and are acting selectively on cannabinoid receptors, particularly peripheral ones, have little adverse effects on the CNS, and exhibit excellent immunosuppressive, anti-inflammatory and antiallergic activities. These compds. are useful

as regulators against cannabinoid receptors (particularly peripheral cannabinoid receptors), and serve as immunosuppressive, anti-inflammatory and antiallergic agents. Thus, the title compound II was prepared and tested.

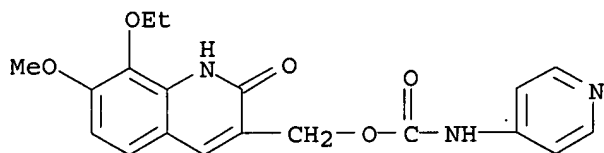
IT 282089-53-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxoquinoline compds. used as immunosuppressive, anti-inflammatory, and anti-allergic agents)

RN 282089-53-6 CAPLUS

CN Carbamic acid, 4-pyridinyl-, (8-ethoxy-1,2-dihydro-7-methoxy-2-oxo-3-quinolinyl)methyl ester (9CI) (CA INDEX NAME)



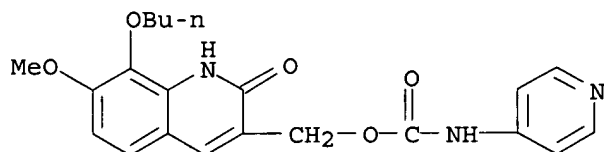
IT 283179-05-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxoquinoline compds. used as immunosuppressive, anti-inflammatory, and anti-allergic agents)

RN 283179-05-5 CAPLUS

CN Carbamic acid, 4-pyridinyl-, (8-butoxy-1,2-dihydro-7-methoxy-2-oxo-3-quinolinyl)methyl ester (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 13:07:47 ON 07 DEC 2006

L1 STRUC  
L2 6 S L1  
L3 135 S L1 FUL

FILE 'CAPLUS' ENTERED AT 13:09:57 ON 07 DEC 2006

L4 146 S L3  
L5 3 S L4 AND CNS

=> s 14 not 15  
L6 143 L4 NOT L5

=> s 16 and py<2002  
21842492 PY<2002  
L7 87 L6 AND PY<2002

=> s 17 and nerv?  
411497 NERV?  
L8 4 L7 AND NERV?

=> d bib abs hitstr 1-4

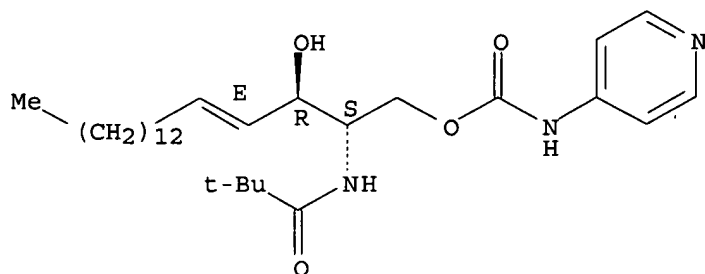
L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:396835 CAPLUS  
DN 135:19492  
TI Preparation of sphingosine derivatives as preventive or therapeutic  
remedies for cerebrovascular disorders  
IN Kobori, Takeo; Sugimoto, Kikuo; Goda, Kenichi; Taguchi, Minoru  
PA Taisho Pharmaceutical Co.,ltd., Japan; Sagami Chemical Research Center  
SO PCT Int. Appl., 70 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001038295	A1	20010531	WO 2000-JP8229	20001122 <--
	W: AU, CA, CN, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	JP 2001213858	A2	20010807	JP 2000-355117	20001122 <--
PRAI	JP 1999-332165	A	19991124		
OS	MARPAT 135:19492				
AB	Title compds. [CnH2n+1CH:CHCHOHCH(NHR1)CH2YC(:W)ZR2; R1 = H, (CH3)3CCO, (CH3)2CHCO, BOC, COCH2NHBOC, COCH2NH2, COCOOEt, COCOOH; R2 = H, OH, CH2CH2N(CH3)2, CH2COOH, 4-HOCC6H4, heterocycle; W = O, S; Y = O, NH; Z = NH, NCH3, NOH; n = an integer of 1 to 20] and pharmaceutically acceptable salts are prepared and biol. tested. Title derivs. and salts are useful as preventive or therapeutic drugs for cerebrovascular disorders such as cerebral hemorrhage and cerebral infarction; head injuries; senile dementia; degenerative diseases of cranial nerve such as Alzheimer disease and Parkinson disease; diabetes; obesity; arteriosclerosis; inflammatory diseases; immunol. diseases; cancers; kidney diseases; and heart diseases.				
IT	342649-82-5P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of sphingosine derivs. as preventive or therapeutic remedies for cerebrovascular disorders)				
RN	342649-82-5 CAPLUS				



CN Carbamic acid, 4-pyridinyl-, (2S,3R,4E)-2-[(2,2-dimethyl-1-oxopropyl)amino]-3-hydroxy-4-octadecenyl ester (9CI) (CA INDEX NAME)

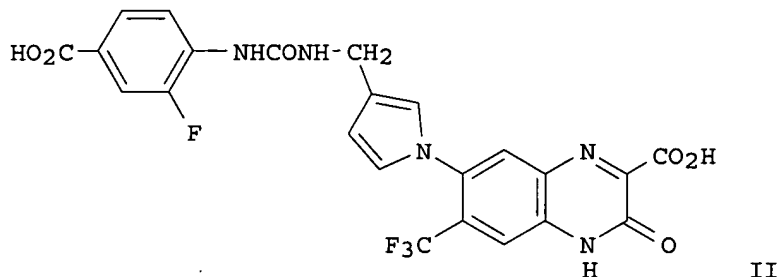
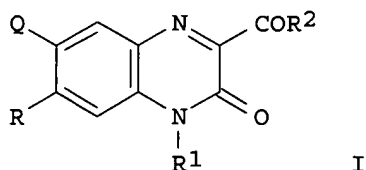
Absolute stereochemistry.  
Double bond geometry as shown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1999:184245 CAPLUS  
DN 130:223301  
TI Preparation of 6,7-asymmetrically disubstituted quinoxalinecarboxylic acid derivatives and addition salts thereof as selective antagonists of AMPA receptor  
IN Takano, Yasuo; Shiga, Futoshi; Takadoi, Masanori; Uchiki, Hideharu; Asano, Jun; Anraku, Tsuyoshi; Fukuchi, Kazunori; Uda, Junichiro; Ando, Naoki  
PA Kyorin Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 293 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9911632	A1	19990311	WO 1998-JP3832	19980828 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
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	JP 2000080085	A2	20000321	JP 1998-291295	19980826 <--
	CA 2302161	AA	19990311	CA 1998-2302161	19980828 <--
	AU 9888864	A1	19990322	AU 1998-88864	19980828 <--
	AU 744540	B2	20020228		
	EP 1020453	A1	20000719	EP 1998-940594	19980828 <--
	EP 1020453	B1	20040519		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9811739	A	20000919	BR 1998-11739	19980828 <--
	HU 200002853	A2	20010528	HU 2000-2853	19980828 <--
	AT 267176	E	20040615	AT 1998-940594	19980828
	NO 2000001046	A	20000502	NO 2000-1046	20000301 <--
	NO 315272	B1	20030811		
	US 6348461	B1	20020219	US 2000-485716	20000301
PRAI	JP 1997-251313	A	19970901		
	JP 1998-190108	A	19980706		
	JP 1998-190109	A	19980706		
	WO 1998-JP3832	W	19980828		



AB Claimed and prepared are the disubstituted quinoxalinecarboxylic acid derivs. represented by formula [I; wherein Q is halogeno, optionally halogenated lower alkyl, Ar-P- (wherein Ar is Ph optionally substituted with one or more substituting groups, or naphthyl; and P is lower alkylene, lower alkenylene, lower alkynylene, oxygen or sulfur), etc.; R is nitro, trifluoromethyl, optionally substituted amino or a group of general formula NS(O)nNR10R11 (wherein R10 and R11 represent H, optionally halo-substituted alkyl, cycloalkyl, aralkyl, Ph, or optionally fused heterocyclyl; or NR10R11 forms a ring optionally containing 1 or 2 heteroatoms; n is 1 or 2); R1 is aralkyl, Ph, naphthyl, a 5- or 6-membered heterocycle or a fused ring thereof (which may have one or more substituting groups on the aromatic ring or the heterocycle), hydrogen, optionally halogenated lower alkyl or cycloalkyl; and R2 is hydroxyl, lower alkoxy or a group of general formula NR8R9 (wherein R8 and R9 are aralkyl, Ph, optionally fused heterocyclyl, H, optionally halo-substituted alkyl, or cycloalkyl; or NR8R9 forms a ring optionally containing 1 or 2 heteroatoms)]. Also claimed are antagonists of excitatory amino acid receptors comprising as the active ingredient 6,7-*asym.* disubstituted quinoxalinecarboxylic acid derivs. or addition salts thereof, particularly compds. exhibiting antagonism against AMPA receptors (non-NMDA receptor); and processes for the preparation of both. They are useful for the treatment of brain nerve cell disorders related to nerve cell death, so called excitotoxicity caused by excessive excitation of glutamic acid receptors. Thus, addition reaction of Et 7-(3-(aminomethyl)pyrrol-1-yl)-3-oxo-1,2,3,4-tetrahydro-6-(trifluoromethyl)quinoxaline-2-carboxylate hydrochloride with Et 3-fluoro-4-isocyanatobenzoate followed by 2,3-dichloro-5,6-dicyanoquinone oxidation and saponification gave the title compound

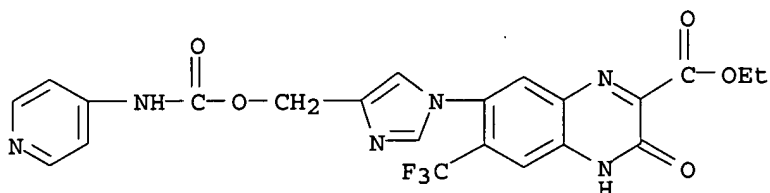
(II). II in vitro showed the binding affinity to a synaptosome preparation from rat cerebral cortex with Ki of 11.8 nM.

IT 221165-80-6P 221166-27-4P

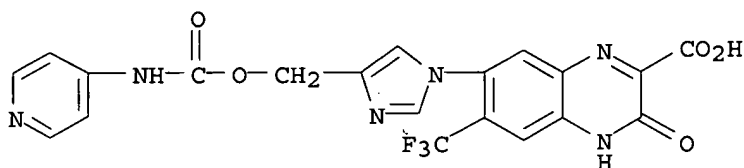
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of *asym.* disubstituted quinoxalinecarboxylic acid derivs. as selective antagonists of AMPA receptor for treatment of brain nerve cell disorders)

RN 221165-80-6 CAPLUS  
 CN 2-Quinoxalinecarboxylic acid, 3,4-dihydro-3-oxo-7-[4-[[[(4-pyridinylamino)carbonyl]oxy)methyl]-1H-imidazol-1-yl]-6-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 221166-27-4 CAPLUS  
 CN 2-Quinoxalinecarboxylic acid, 3,4-dihydro-3-oxo-7-[4-[[[(4-pyridinylamino)carbonyl]oxy)methyl]-1H-imidazol-1-yl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1970:121363 CAPLUS  
 DN 72:121363  
 TI Antiinflammatory 3-[2-[4-(substituted-benzamido)piperidino]ethyl]indoles  
 IN Archibald, John L.; Jackson, John Lambert  
 PA John Wyeth and Brother Ltd.  
 SO S. African, 38 pp.  
 CODEN: SFXXAB

DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 6803204		19691117	ZA	<--
	DE 1770460			DE	
	FR 1582086			FR	
	FR 7787			FR	
	GB 1218570			GB	
	US 3527761		19700908	US	19680515 <--
PRAI	GB		19670524		
	GB		19680301		

OS MARPAT 72:121363

AB Title compds. with antiinflammatory activity and (or) cardiovascular and (sometimes) control nervous system activity, were prepared Thus, BzCl was added dropwise to an ice-cooled solution of 4-aminopyridine in pyridine to yield 4-benzamidopyridine. This (1.98 g) and 3-(2-bromoethyl)indole (2.24g) in 15 ml absolute EtOH was refluxed 2 hr, to yield 4-benzamido-1-[2-(3-indolyl)ethyl]pyridinium bromide (I) as the hydrate, m. 267-9° (EtOH-H2O). NaBH4 (6.0g) was added over 30 min to a stirred suspension of 2.0 g I in 100 ml MeOH and the mixture stirred 1 hr to give 1.54 g 3-[2-(4-benzamido-1,2,5,6-tetrahydro-1-pyridyl)ethyl]indole, m. 209-11° (MeOH). Similarly prepared were the

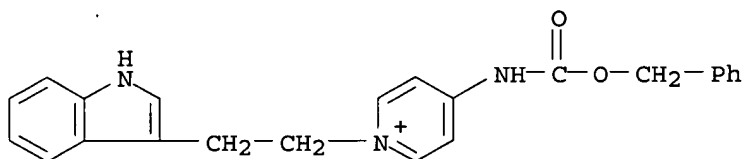
following 3-[2-(R-substituted)-ethyl]indoles (R and m.p. given):  
 3-benzamido-1,2,5,6-tetrahydro-1-pyridyl, 180-2° (MeCN);  
 4-benzyloxycarbonylamino-1,2,5,6-tetrahydro-1-pyridyl, 162-4°  
 (EtOH); 4-[4-chlorobenzamido]-1,2,5,6-tetrahydro-1-pyridyl, 229-30°  
 (EtOH-Me<sub>2</sub>SO); 4-[2,2-diphenylacetamido]-1,2,5,6-tetrahydro-1-pyridyl,  
 197-8° (EtOH); 4-benzylamino-1-pyridyl, 132-4°  
 (C<sub>6</sub>H<sub>6</sub>-80-100° petroleum ether); 4-benzamido-1-piperidyl,  
 208-10° (EtOH); and 3-benzamido-1-pyridyl, 135-40° (aqueous  
 EtOH). Also prepared were the following 3-[2-[4-(R-substituted)-1-  
 piperidyl]ethyl]indole. (R and m.p. given): 4-chlorobenzamido,  
 230-2° (EtOH); 4-methoxybenzamido, (as the HCl salt hydrate),  
 284-6° (EtOH-H<sub>2</sub>O); acetamido, 167-8° (EtOAc); amino,  
 106-10° (aqueous MeCN); 3-methoxybenzamido, 149-50° (MeCN);  
 2-methoxybenzamido, 152-4°; 3,4,5-trimethoxybenzamido (hydrate),  
 105-8° (EtOH-H<sub>2</sub>O); indole-3-carboxamido, 242-4° (aqueous Me<sub>2</sub>CO);  
 2,2-diphenylacetamido, 160-2° (ag. EtOH); 2-methylbenzamido,  
 186-9°; 3-methylbenzamido, 172-4°; 4-methylbenzamido,  
 200-2°; 2-furancarboxamido, 146-8°; 2-chlorobenzamido,  
 163-4°; 3,4-methylenedioxybenzamido, 189-90°;  
 2-carboxybenzamido (hydrate), 165-70° (EtOH-H<sub>2</sub>O);  
 3-trifluoromethylbenzamido, 186-8°; 4-phenylbenzamido (monohydrate),  
 271-2°; and 4-phenylacetamido, 165-8°. Also prepared were the  
 following 3-[2-(R-substituted-ethyl)-2-methylindoles (R and m.p. given):  
 4-benzamido-1-piperidyl, 209-11° (aqueous EtOH); 4-[4-  
 methoxybenzylamido]-1-piperidyl (monohydrate), 110-14° (EtOH); and  
 4-(4-chlorobenzamido)-1-piperidyl (HCl salt), 243-5° (EtOH-Et<sub>2</sub>O).  
 Also prepared were the following 3-(R-substituted)-1-methylindoles. (R and  
 m.p. given): 2-(4-benzamido-1-piperidyl)-ethyl, 178-9° (ag. EtOH);  
 2-[4-(4-chlorobenzamido)-1-piperidyl]ethyl, 212-14°;  
 2-[4-(4-methylbenzamido)-1-piperidyl]ethyl, 198-9°; and  
 2-[4-(4-methoxybenzamido)-1-piperidyl]ethyl, 198-9°. Also prepared  
 were the following 3-(R-substituted)-1-benzylindoles. (R and m.p. given):  
 2-(4-benzamido-1-piperidyl)ethyl, 152-3° (aqueous EtOH);  
 2-[4-(4-chlorobenzamido)-1-piperidyl]ethyl, 193-4°; and  
 2-[4-(4-methoxybenzamido)-1-piperidyl]ethyl, 191-2°. Also prepared  
 were the following 3-[2-(R-substituted)-1-oxoethyl]indoles (R and m.p.  
 given): 4-benzamido-1-piperidyl, 204-6°; 4-(4-chlorobenzamido)-1-  
 piperidyl, 231-3°; and 4-(4-methoxybenzamido)-1-piperidyl,  
 227-9°; also prepared were: 3-[2-(4-benzamido-1-piperidyl)ethyl]-5-  
 methoxy-2-methylindole, m. 180-1° (EtOAc); and 3-[3-(4-benzamido-1-  
 piperidyl)propyl]indole, m. 179-80° (aqueous EtOH).

IT 26844-03-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 26844-03-1 CAPLUS

CN Pyridinium, 1-[2-(1H-indol-3-yl)ethyl]-4-[[ (phenylmethoxy) carbonyl] amino] -  
 , bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>